## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
Ll	51306	3,3-diphenyl propylamine monoesters	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:08
L2	1558	514/649	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:08
L3	146	L1 and L2	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:10
L4	0	fesoteridine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:11
L5	36	fesoterodine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:11
L6	3	L1 and L5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/05/29 11:11
S1	5547820	(R)-2-[3-(1, 1-diisopropylamino)-1-phenylpropyl]-4-(hyd roxymethyl)phenyl isobutyrate	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 12:51
S2	27	Fesoterodine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 12:51
S3	27	S1 and S2	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:59
S4	1433	514/649	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:59
S5	3277	424/486	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:59
S6	14	S4 and S5	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 17:59

## **EAST Search History**

S7	27	Fesoterodine	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 18:01
S8	2283	514/249	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2006/11/02 18:01
S9	2	S7 and S8	US-PGPUB; USPAT; EPO; DERWENT	OR	ON .	2006/11/02 18:01

5/29/2007 11:12:46 AM Page 2

NEWS 33 MAY 21 CA/CAplus enhanced with additional kind codes for German patents

NEWS 34 MAY 22 CA/Caplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FULL ESTIMATED COST

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FILE COVERS 1907 - 29 May 2007 VOL 146 ISS 23 FILE LAST UPDATED: 28 May 2007 (20070528/ED)

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=> s 3,3-diphenyl propylamine monoesters
 6907208 3
 6907208 3

104501 DIPHENYL 199 DIPHENYLS

104618 DIPHENYL

(DIPHENYL OR DIPHENYLS)

14420 PROPYLAMINE

376 PROPYLAMINES

14610 PROPYLAMINE

## (PROPYLAMINE OR PROPYLAMINES)

## 6378 MONOESTERS

1 3,3-DIPHENYL PROPYLAMINE MONOESTERS (3 (W) 3 (W) DIPHENYL (W) PROPYLAMINE (W) MONOESTERS)

=> d L1 bib abs

PRAI DE 2003-10315917

os GI WO 2004-EP3567

MARPAT 141:370546

Ll

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2004:878361 CAPLUS
DN
     141:370546
TI
     Highly pure bases of 3,3-diphenyl
     propylamine monoesters for use in transdermal delivery
     Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
IN
PA
     Schwarz Pharma Ag, Germany
     PCT Int. Appl., 72 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     German
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     PATENT NO.
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                          A
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     NO 2005005078
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20030408

20040403

Α

W

The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d L2 1-10 bib abs

L2 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:259675 CAPLUS

DN 146:281054

Pharmaceutical compositions comprising combinations of an antimuscarinic agent and an anticholinergic agent for the treatment of a patient suffering from overactive bladder

IN Paborji, Mehdi

PA Theravida, LLC, USA

SO PCT Int. Appl., 49pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

	PATENT NO.					KIND DATE			APPLICATION NO.					DATE				
PI ·	WO 2007027675				A1 20070308			WO 2006-US33671					20060828					
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US 2007053995 A1 20070308 US 2006-467760 20060828

PRAI US 2005-714150P P 20050902

Disclosed herein are pharmaceutical compns. comprising various combinations of an antimuscarinic or an anticholinergic agent, a compound that causes stimulation of salivary glands, and a compound that relieves constipation. Also disclosed are methods of treating a patient suffering from overactive bladder comprising administering to the patient the above pharmaceutical composition. To an individual with overactive bladder is given 5 mg of oxybutynin two to four times a day in addition to 5 mg of pilocarpine two or three times a day. If the individual continues to complain about dry mouth, the dose of pilocarpine is increased to 10 mg two or three times a day. The dose can be increased upto 20 mg, or 50 mg, if needed. Each dose of oxybutynin can be increased to 10, 15, 20, or 30 mg.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L2 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:1133705 CAPLUS
- DN 146:74422
- TI Treatment of the overactive bladder syndrome with muscarinic receptor antagonists a matter of metabolites?
- AU Michel, Martin C.; Hegde, Sharath S.
- CS Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Amsterdam, 1105 AZ, Neth.
- Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85 CODEN: NSAPCC; ISSN: 0028-1298
- PB Springer
- DT Journal; General Review
- LA English
- A review. Antagonists of muscarinic acetylcholine receptors, such as AB darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. The authors briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. The authors conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.
- RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L2 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2006:76147 CAPLUS
- DN 144:156740
- TI Combinations of statins with bronchodilators for treatment of respiratory disorders
- IN Lindmark, Bertil; Thoren, Anders Ingemar
- PA AstraZeneca AB, Swed.; AstraZeneca UK Limited

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CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
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     IN 2007DN01182
                             Α
PRAI GB 2004-15789
                            Α
                                    20040715
     WO 2005-GB2413
                            W
                                    20050620
     The invention provides medicaments comprising combinations of
     bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in
     the treatment of respiratory disorders such as chronic obstructive
     pulmonary disease (COPD). For example, a metered dose inhaler contained
     per dose formoterol fumarate dihydrate 4.5 \mu g, budesonide 160 \mu g,
     rosuvastatin 1 mg, and HFA 227 50 µL. Also, an inhalation/oral
      combination comprised an aerosol formulation containing per dose formoterol
      fumarate dihydrate 4.5 \mu g and budesonide 160 \mu g, and a tablet
      formulation containing rosuvastatin 10 mg.
                THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 3
                ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
L2
      2004:878361 CAPLUS
AN
      141:370546
DN
     Highly pure bases of 3,3-diphenyl propylamine monoesters for use in
ΤI
      transdermal delivery systems
     Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
IN
      Schwarz Pharma Ag, Germany
PA
      PCT Int. Appl., 72 pp.
SO
      CODEN: PIXXD2
DT
      Patent
LΑ
      German
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BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

PCT Int. Appl., 18 pp.

SO

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PRAI DE 2003-10315917
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     WO 2004-EP3567
                           W
                                 20040403
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The invention relates to a compound of general formula (I) wherein A AB represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino) -1-phenylpropyl] -4- (hydroxymethyl) phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:875349 CAPLUS

DN 142:303234

TI Mucosal adjuvants and delivery systems for oral and nasal vaccination

AU Baudner, Barbara C.; Verhoel, J. Coos; Junginger, Hans E.; del Giudice, Giuseppe

CS IRIS Research Center, Siena, 53100, Italy

SO Drugs of the Future (2004), 29(7), 721-732

CODEN: DRFUD4; ISSN: 0377-8282

- PB Prous Science
- Journal; General Review DT
- LΑ English
- A review. The pillars of pharmacotherapy for overactive bladder (OAB) are AB antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
- THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 169 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN L2
- 2004:875348 CAPLUS AN
- DN 142:147630
- Fesoterodine, an advanced antimuscarinic for the treatment of TТ overactive bladder: a safety update
- AU Cole, Patrick
- Medical Information Dept., Prous Science, Barcelona, 08080, Spain CS
- Drugs of the Future (2004), 29(7), 715-720 SO CODEN: DRFUD4; ISSN: 0377-8282
- PB Prous Science
- DTJournal; General Review
- English LΑ
- The pillars of pharmacotherapy for overactive bladder (OAB) are AB A review. antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
- THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 24 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
L2
AN
     2004:872676 CAPLUS
     141:337790
DN
     Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
ΤI
     Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
IN
     Schwarz Pharma Ag, Germany
PA
SO
     PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
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      MARPAT 141:337790
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The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine,

nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight%

ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L2 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:761399 CAPLUS
- DN 141:254396
- TI Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study
- CS Chapple C1, Royal Hallamshire Hospital, UK
- SO Neurourology and Urodynamics (2004), 23(5/6), 598-599 CODEN: NEUREM; ISSN: 0733-2467
- PB Wiley-Liss, Inc.
- DT Journal
- LA English
- AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.
- L2 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:993805 CAPLUS
- DN 140:331551
- TI Fesoterodine: Treatment of urinary incontinence muscarinic M3 antagonist
- AU Sorbera, L. A.; Castaner, J.; Lesson, P. A.
- CS Prous Science, Barcelona, 08080, Spain
- SO Drugs of the Future (2003), 28(7), 647-651 CODEN: DRFUD4; ISSN: 0377-8282
- PB Prous Science
- DT Journal; General Review
- LA English
- A review. Urinary incontinence and overactive bladder are extremely AΒ common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.
- RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L2 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:950829 CAPLUS
- DN 140:13084

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TI
     Combination of selected opioids with other active substances for use in
     the therapy of urinary incontinence
     Christoph, Thomas
IN
PA
     Grunenthal G.m.b.H., Germany
     PCT Int. Appl., 126 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     German
FAN.CNT 1
                                          APPLICATION NO.
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     PATENT NO.
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                                20031204
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PRAI DE 2002-10224107
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OS
     MARPAT 140:13084
     The invention discloses the use of a combination of opioids (e.g.
AB
     tramadol) with other active substances for producing a drug for the
     treatment of urinary urgency or urinary incontinence. The invention also
     relates to corresponding medicaments and to a method for treating urinary
     urgency or urinary incontinence.
              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 9
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE